* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:21:19 ON 19 AUG 2003

=> file reg

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Uploading 09990499.str

L1 STRUCTURE UPLOADED

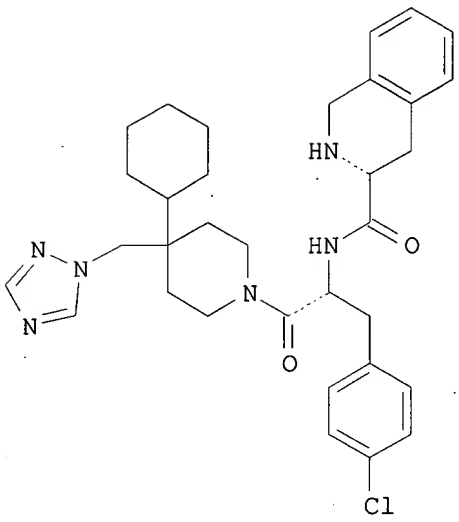
=> s l1 full
L3 8 SEA SSS FUL L1

=> file ca

=> s l3 full
L4 2 L3

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 137:362928 CA
TITLE: Design and pharmacology of N-[(3R)-1,2,3,4-tetrahydroisoquinolinium- 3-ylcarbonyl]-(1R)-1-(4-chlorobenzyl)- 2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethylamine (1), a potent, selective, melanocortin subtype-4 receptor agonist
AUTHOR(S): Sebhat, Iyassu K.; Martin, William J.; Ye, Zhixiong; Barakat, Khaled; Mosley, Ralph T.; Johnston, David B. R.; Bakshi, Raman; Palucki, Brenda; Weinberg, David H.; MacNeil, Tanya; Kalyani, Rubana N.; Tang, Rui; Stearns, Ralph A.; Miller, Randy R.; Tamvakopoulos, Constantin; Strack, Alison M.; McGowan, Erin; Cashen, Doreen E.; Drisko, Jennifer E.; Hom, Gary J.; Howard, Andrew D.; MacIntyre, D. Euan; van der Ploeg, Lex H. T.; Patchett, Arthur A.; Nargund, Ravi P.
CORPORATE SOURCE: Departments of Chemistry, Pharmacology, Obesity Research, and Drug Metabolism, Merck Co. Inc., Rahway, NJ, 07065-0900, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(21), 4589-4593
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

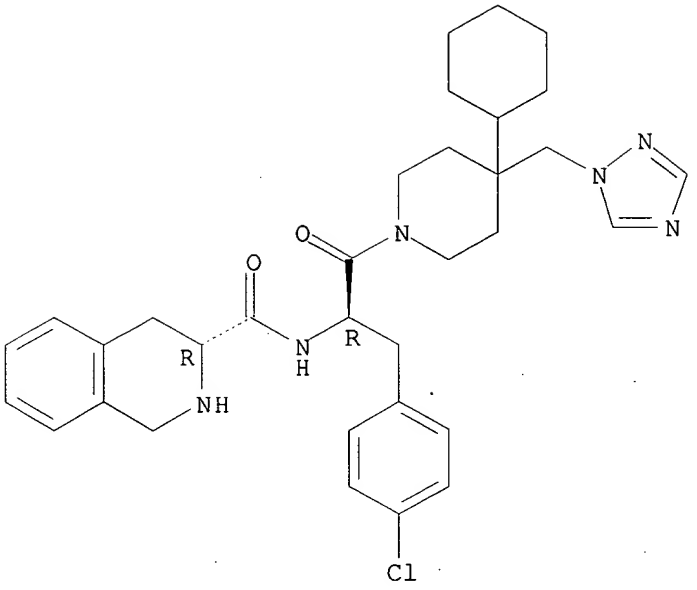
AB Synthetic and natural peptides that act as nonselective melanocortin receptor agonists have been found to be anorexigenic and to stimulate erectile activity. We report the design and development of (I), a potent, selective (1184-fold vs. MC3R, 350-fold vs. MC5R), small-mol. agonist of the MC4 receptor. Pharmacol. testing confirms the food intake lowering effects of MC4R agonism and suggests another role for the receptor in the stimulation of erectile activity.

IT **312637-48-2P 312637-80-2P 475095-01-3P 475095-02-4P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(design and pharmacol. of melanocortin 4 receptor agonist)

RN 312637-48-2 CA

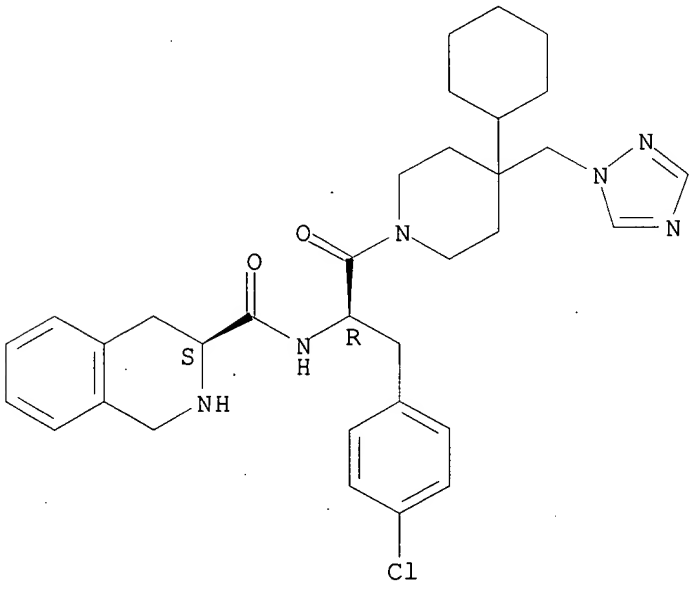
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



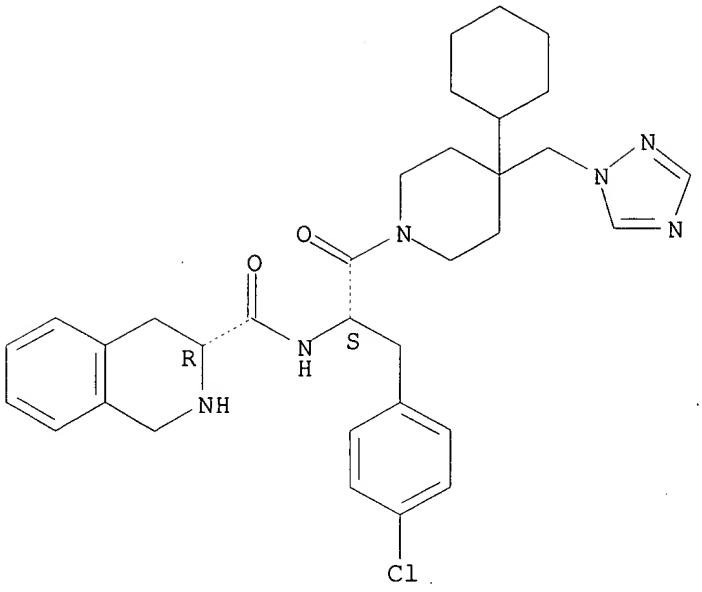
RN 312637-80-2 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



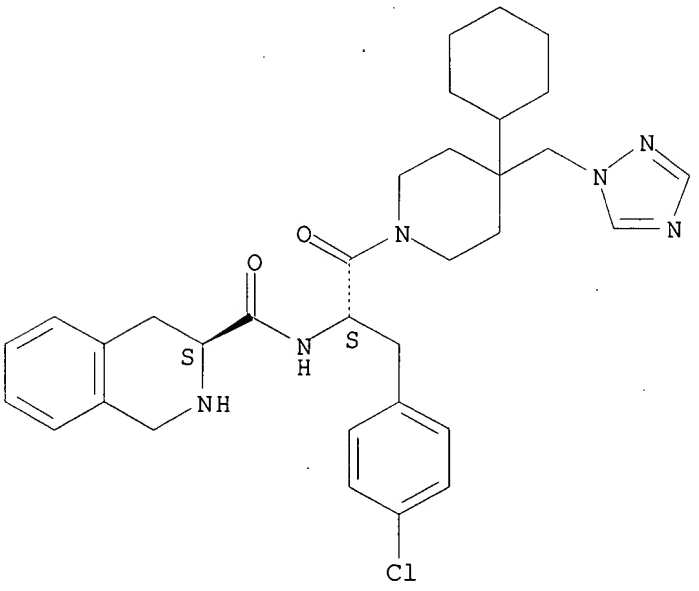
RN 475095-01-3 CA
CN 3-Isoquinolinecarboxamide, N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 475095-02-4 CA
CN 3-Isoquinolinecarboxamide, N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:42445 CA
TITLE: Preparation of piperidine amino acid derivatives as

melanocortin-4 receptor agonists

INVENTOR(S): Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074679	A1	20001214	WO 2000-US14930	20000531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1187614	A1	20020320	EP 2000-937961	20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003505435	T2	20030212	JP 2001-512328	20000531
US 6350760	B1	20020226	US 2000-585111	20000601
US 2002137664	A1	20020926	US 2001-990499	20011121

PRIORITY APPLN. INFO.:
US 1999-137477P P 19990604
US 1999-169209P P 19991202
WO 2000-US14930 W 20000531
US 2000-585111 A3 20000601

OTHER SOURCE(S): MARPAT 134:42445
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

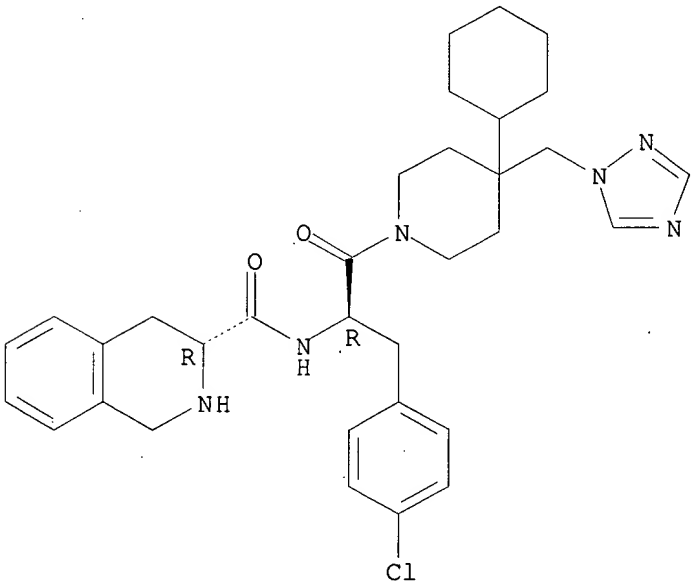
AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepd. as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepd. by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (prepn. given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and >

580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

IT **312637-48-2P 312637-49-3P 312637-81-3P 312639-77-3P 312639-78-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312637-48-2 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312637-49-3 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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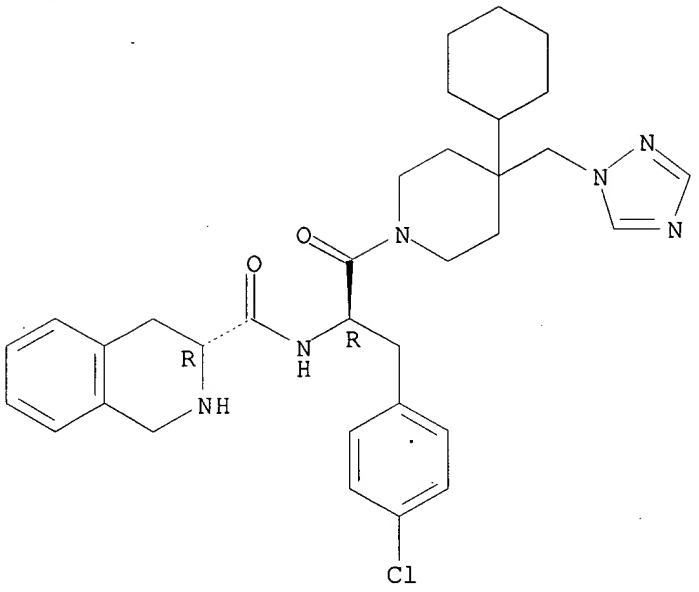
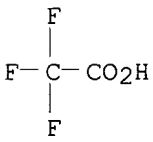
CRN 312637-48-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

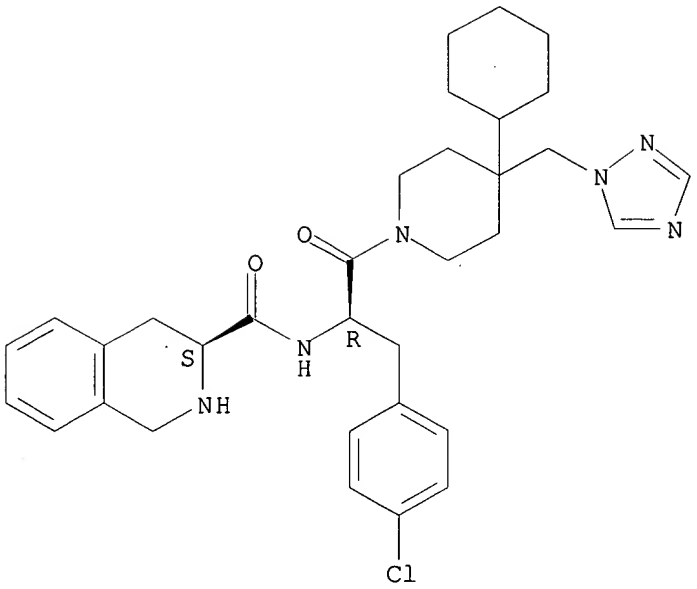


RN 312637-81-3 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

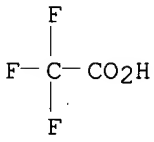
CRN 312637-80-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



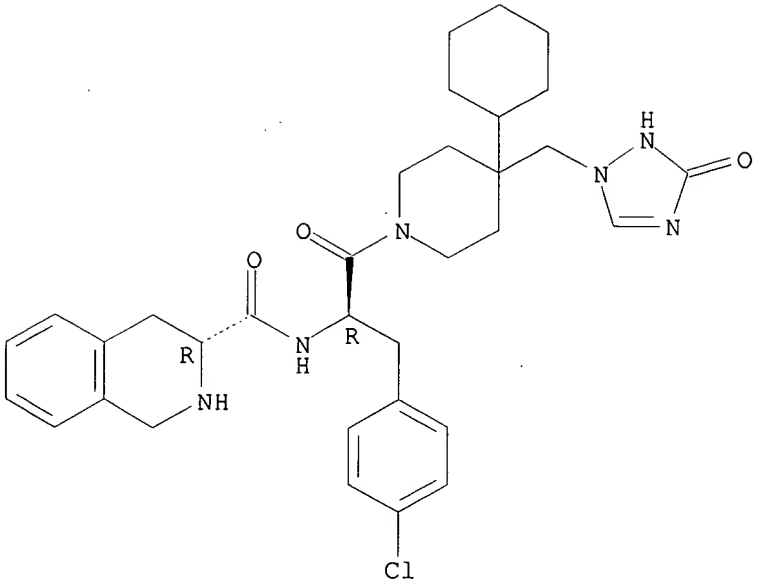
CM 2

CRN 76-05-1
CMF C2 H F3 O2



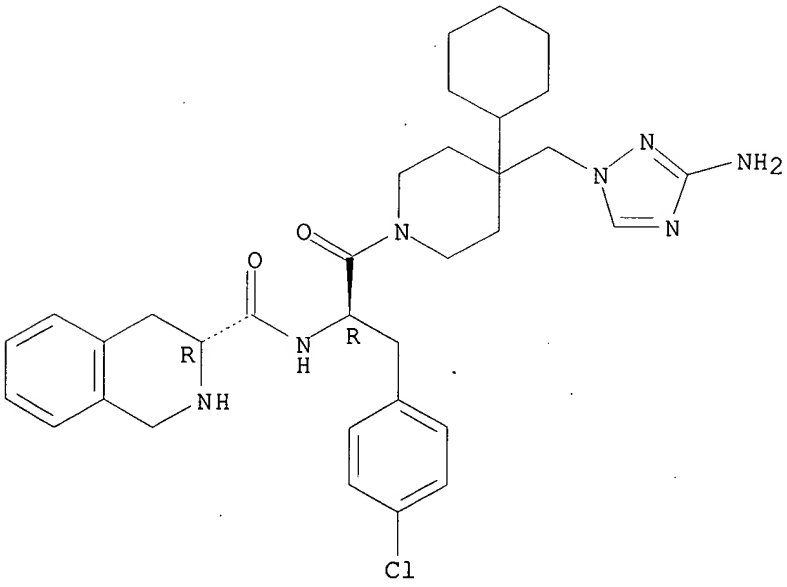
RN 312639-77-3 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[(2,3-dihydro-3-oxo-1H-1,2,4-triazol-1-yl)methyl]-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312639-78-4 CA
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[4-[(3-amino-1H-1,2,4-triazol-1-yl)methyl]-4-cyclohexyl-1-piperidinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file marpat

=> s l1 full
L5 1 SEA SSS FUL L1

=> d ibib abs fqhit

L5 ANSWER 1 OF 1 MARPAT COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 134:42445 MARPAT
TITLE: Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists
INVENTOR(S): Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074679	A1	20001214	WO 2000-US14930	20000531
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1187614	A1	20020320	EP 2000-937961	20000531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003505435	T2	20030212	JP 2001-512328	20000531
US 6350760	B1	20020226	US 2000-585111	20000601
US 2002137664	A1	20020926	US 2001-990499	20011121

PRIORITY APPLN. INFO.:
US 1999-137477P 19990604
US 1999-169209P 19991202
WO 2000-US14930 20000531
US 2000-585111 20000601

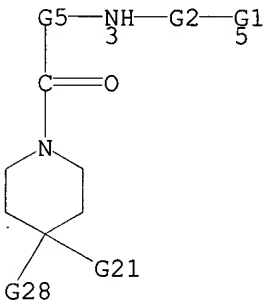
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

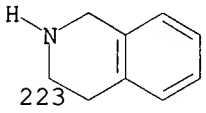
AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepd. as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the

treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepd. by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (prepn. given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

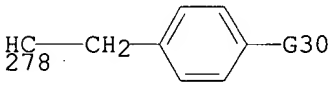
MSTR 1



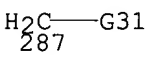
G1 = 223



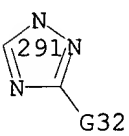
G2 = C(O)
G5 = 278



G21 = 287



G28 = cyclohexyl
G30 = Cl
G31 = 291



MPL: claim 1

NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file uspatfull

=> s l3
L6 2 L3

=> d ibib abs hitstr 1-2

L6 ANSWER 1 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2002:251711 USPATFULL
TITLE: Substituted piperidines as melanocortin-4 receptor agonists
INVENTOR(S): Bakshi, Raman K., Edison, NJ, UNITED STATES
Barakat, Khaled J., Brooklyn, NY, UNITED STATES
Nargund, Ravi P., East Brunswick, NJ, UNITED STATES
Palucki, Brenda L., Belle Mead, NJ, UNITED STATES
Patchett, Arthur A., Westfield, NJ, UNITED STATES
Sebhat, Iyassu, Hoboken, NJ, UNITED STATES
Ye, Zhixiong, Princeton, NJ, UNITED STATES
Van Der Ploeg, Leonardus H.T., Scotch Plains, NJ, UNITED STATES
PATENT ASSIGNEE(S): Merck & Co., Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002137664	A1	20020926
APPLICATION INFO.:	US 2001-990499	A1	20011121 (9)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-585111, filed on 1 Jun 2000, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-137477P	19990604 (60)
	US 1999-169209P	19991202 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MERCK AND CO INC, P O BOX 2000, RAHWAY, NJ, 070650907
NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 26
LINE COUNT: 2640
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Certain novel substituted piperidine compounds are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Also provided are methods of treating sexual dysfunction with a compound that is a selective agonist of MC-4R over any other human melanocortin receptor.

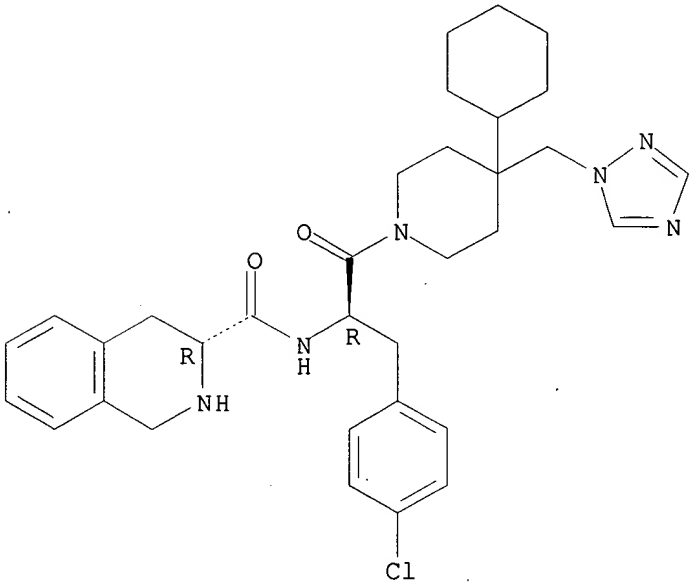
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **312637-48-2P 312637-49-3P 312637-81-3P**
312639-77-3P 312639-78-4P

(prepn. of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312637-48-2 USPATFULL

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



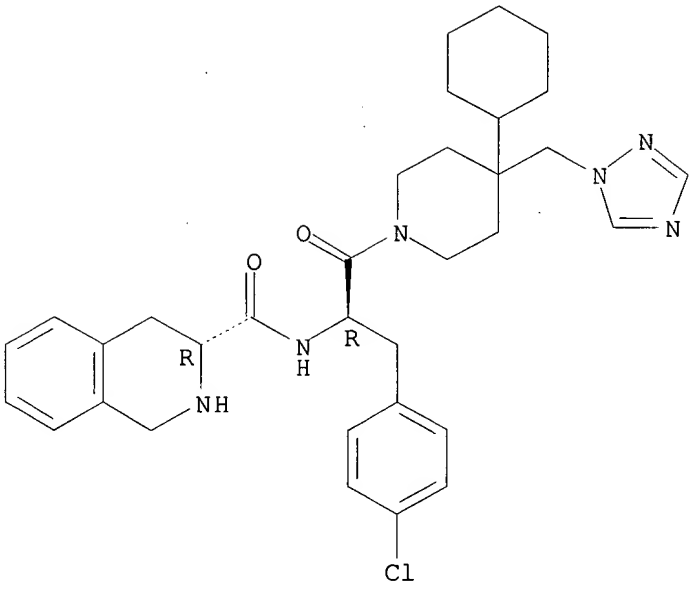
RN 312637-49-3 USPATFULL

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

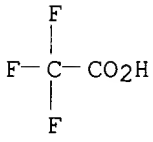
CRN 312637-48-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

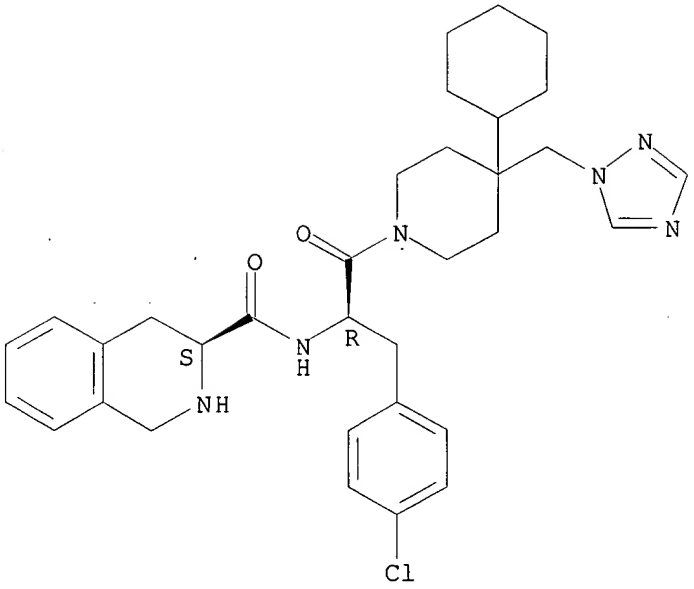


RN 312637-81-3 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

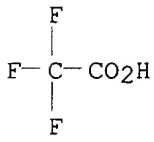
CRN 312637-80-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



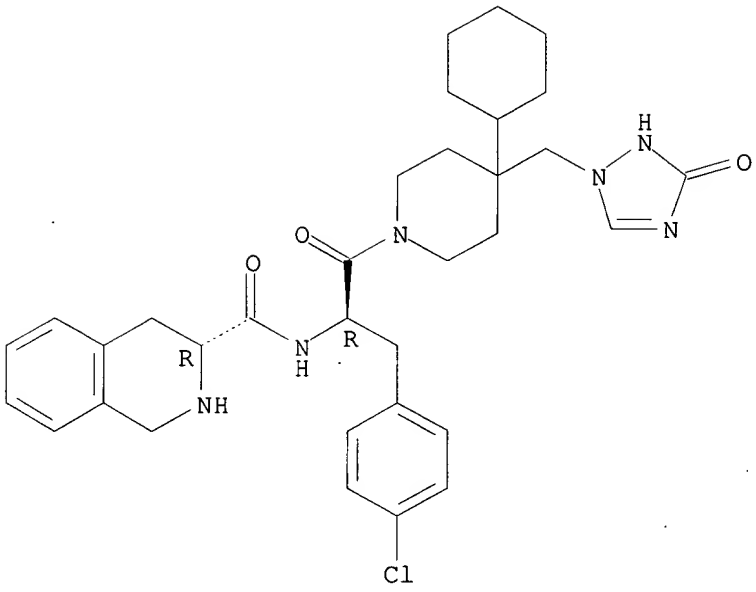
CM 2

CRN 76-05-1
CMF C2 H F3 O2



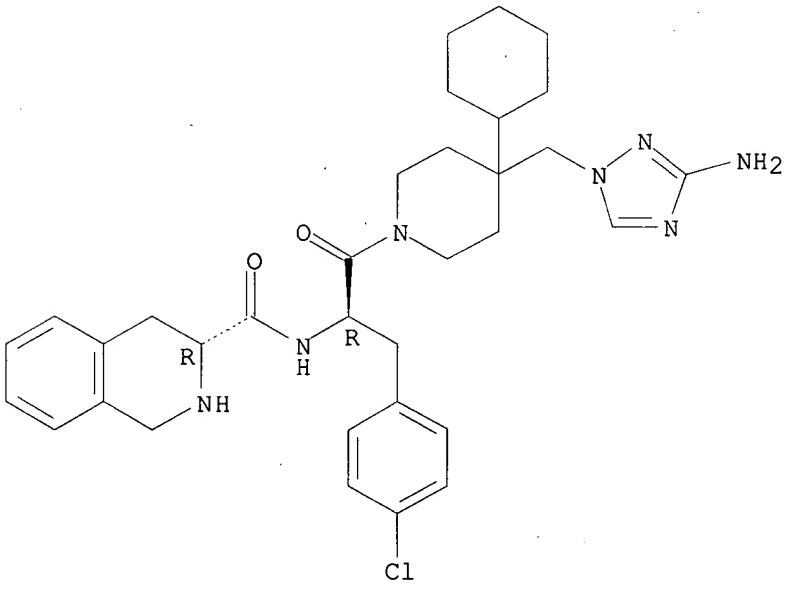
RN 312639-77-3 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[(2,3-dihydro-3-oxo-1H-1,2,4-triazol-1-yl)methyl]-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312639-78-4 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[4-[(3-amino-1H-1,2,4-triazol-1-yl)methyl]-4-cyclohexyl-1-piperidinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 2 OF 2 USPATFULL on STN
ACCESSION NUMBER: 2002:39935 USPATFULL
TITLE: Substituted piperidines as melanocortin-4 receptor agonists
INVENTOR(S): Bakshi, Raman K., Edison, NJ, United States

Barakat, Khaled J., Brooklyn, NY, United States
Nargund, Ravi P., East Brunswick, NJ, United States
Palucki, Brenda L., Belle Mead, NJ, United States
Patchett, Arthur A., Westfield, NJ, United States
Sebhat, Iyassu, Hoboken, NJ, United States
Ye, Zhixiong, Princeton, NJ, United States
Van Der Ploeg, Leonardus H. T., Scotch Plains, NJ, United States
PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6350760	B1	20020226	
APPLICATION INFO.:	US 2000-585111		20000601	(9)

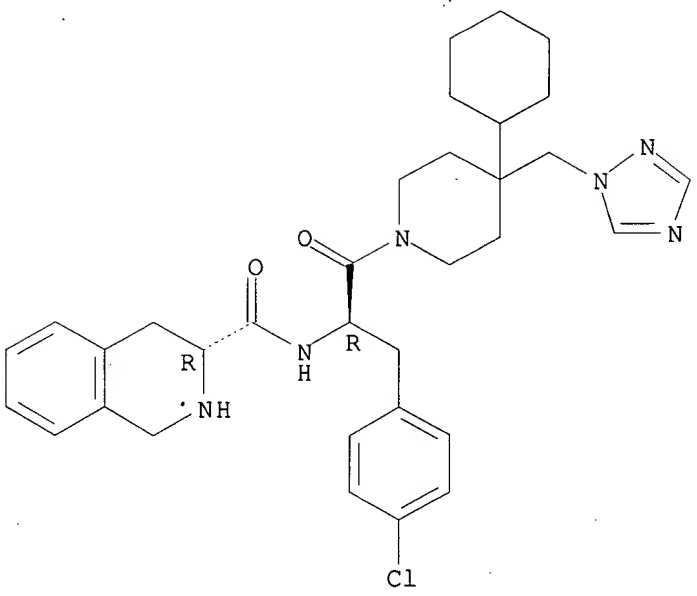
	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-137477P	19990604	(60)
	US 1999-169209P	19991202	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Seaman, D. Margaret
LEGAL REPRESENTATIVE: Durette, Philippe L., Winokur, Melvin
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 2550
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Certain novel substituted piperidine compounds are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Also provided are methods of treating sexual dysfunction with a compound that is a selective agonist of MC-4R over any other human melanocortin receptor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **312637-48-2P 312637-49-3P 312637-81-3P**
312639-77-3P 312639-78-4P
(prepn. of piperidine amino acid derivs. as melanocortin-4 receptor agonists)
RN 312637-48-2 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312637-49-3 USPATFULL
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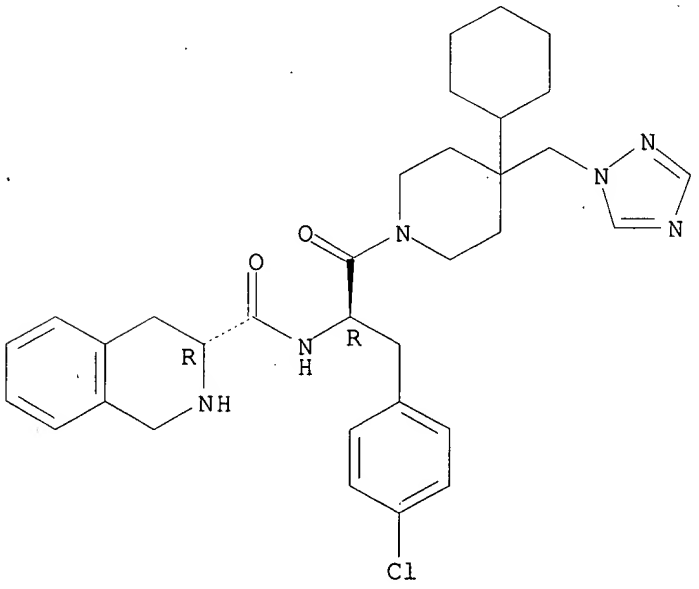
CM 1

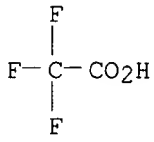
CRN 312637-48-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

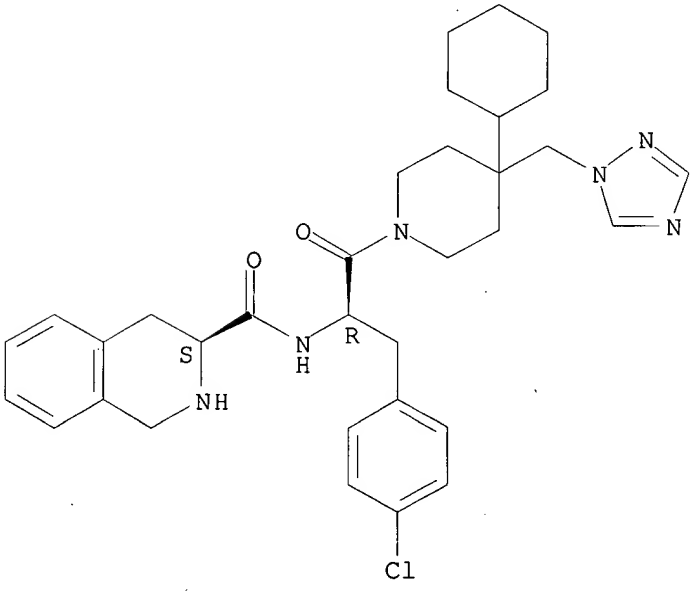


RN 312637-81-3 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

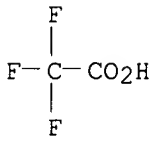
CRN 312637-80-2
CMF C33 H41 Cl N6 O2

Absolute stereochemistry.



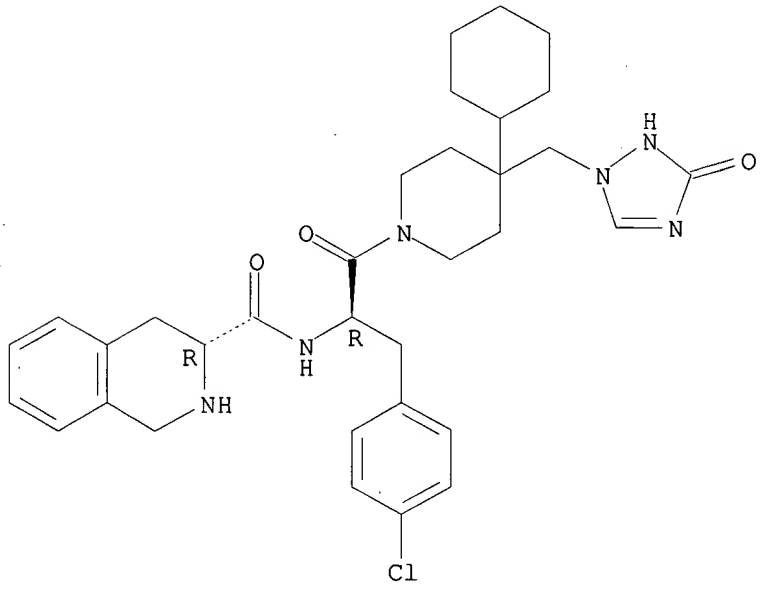
CM 2

CRN 76-05-1
CMF C2 H F3 O2



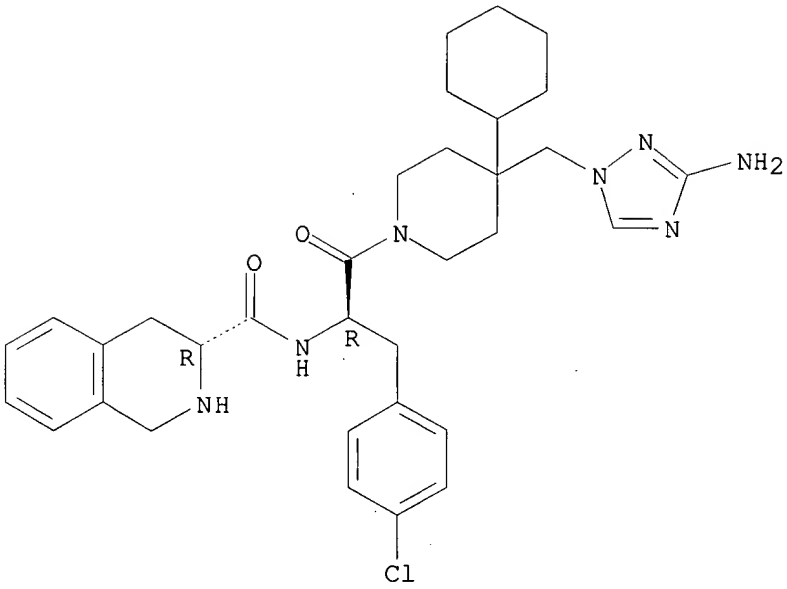
RN 312639-77-3 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-[(2,3-dihydro-3-oxo-1H-1,2,4-triazol-1-yl)methyl]-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312639-78-4 USPATFULL
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[4-[(3-amino-1H-1,2,4-triazol-1-yl)methyl]-4-cyclohexyl-1-piperidinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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STN INTERNATIONAL LOGOFF AT 13:23:44 ON 19 AUG 2003
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